

Translation

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PATENT COOPERATION TREATY

PCT/JP2003/012158



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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 1508	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2003/012158	International filing date (day/month/year) 24 September 2003 (24.09.2003)	Priority date (day/month/year) 24 September 2002 (24.09.2002)
International Patent Classification (IPC) or national classification and IPC C07D 487/04, A61K 31/519, 31/5377, 31/55, 31/553, A61P 9/00, 9/10, 21/00, 25/00, 25/14, 25/16, 25/20, 25/24, 25/28, 43/00		
Applicant KYOWA HAKKO KOGYO CO., LTD.		

- This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 11 sheets, including this cover sheet.
- This report is also accompanied by ANNEXES, comprising:
 - ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - ☐ (sent to the International Bureau only) a total of _____ (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).
- This report contains indications relating to the following items:

<input checked="" type="checkbox"/> Box No. I	Basis of the report
<input type="checkbox"/> Box No. II	Priority
<input checked="" type="checkbox"/> Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
<input checked="" type="checkbox"/> Box No. IV	Lack of unity of invention
<input checked="" type="checkbox"/> Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
<input checked="" type="checkbox"/> Box No. VI	Certain documents cited
<input type="checkbox"/> Box No. VII	Certain defects in the international application
<input type="checkbox"/> Box No. VIII	Certain observations on the international application

Date of submission of the demand 23 April 2004 (23.04.2004)	Date of completion of this report 04 November 2004 (04.11.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ The international application as originally filed/furnished
- ☐ the description:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the claims:
- pages _____, as originally filed/furnished
- pages* _____, as amended (together with any statement) under Article 19
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the drawings:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 34-37

because:

☒ the said international application, or the said claims Nos. 34-37
relate to the following subject matter which does not require an international preliminary examination (*specify*):

SEE SUPPLEMENTAL SHEET

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. 34-37

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the
Administrative Instructions in that:

the ~~written~~ form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with
the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ see Supplemental Box for further details.

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Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: III. 1.

Claims 34-37 relate to inventions of therapeutic methods practiced on the human body.

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Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.
- ☐ paid additional fees.
- ☐ paid additional fees under protest.
- ☒ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☒ not complied with for the following reasons:

SEE SUPPLEMENTAL SHEET

4. Consequently, this report has been established in respect of the following parts of the international application:

- ☐ all parts.
- ☒ the parts relating to claims Nos. SEE SUPPLEMENTAL SHEET

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.

3.

The compounds represented by general formula (I) in claim 1 share the structural feature of a 2-(aromatic)-5-(secondary amine)-[1,2,4]triazolo[1,5-c]pyrimidine moiety; however, the fact that such a structure is not novel is clear from the disclosures in documents 1, 2, 5 and 6 cited hereafter.

Therefore, no technical relationship involving a "special technical feature" can be established among the inventions relating to the compounds described in claim 1 on the basis of the fact that they have such a partial structure; and these inventions cannot be considered to be so linked as to form a single general inventive concept.

The inventions relating to compounds in this claim are considered to constitute seven inventions, with reference to R^3 in general formula (I) thereof.

- *1. An invention relating to compounds wherein R^3 in general formula (I) is as stipulated in "1)"
- *2. An invention relating to compounds wherein R^3 is as stipulated in "2)" or "8)"
- *3. An invention relating to compounds wherein R^3 is as stipulated in "3)", "4)" or "5)"
- *4. An invention relating to compounds wherein R^3 is as stipulated in "6)" or "7)"
- *5. An invention relating to compounds wherein R^3 is as stipulated in "9)"
- *6. An invention relating to compounds wherein R^3 is as stipulated in "10)"

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.

*7. Inventions relating to compounds wherein R^3 is as stipulated in "11)".

Moreover, claims 2-33, which refer back to claim 1, also constitute seven inventions corresponding to *1 to *7 above.

4.

Claims 1, 2, 22-23 in as much as they relate to compounds wherein R^3 in general formula (I) is defined as in 1)

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	22-33	YES
	Claims	1, 2	NO
Inventive step (IS)	Claims		YES
	Claims	1, 2, 22-33	NO
Industrial applicability (IA)	Claims	1, 2, 22-33	YES
	Claims		NO

2. Citations and explanations

Document 1: D. J. Brown et al., "Bis-s-triazolo-[1,5-a:1',5'-c]pyrimidine and some simple derivatives", Australian Journal of Chemistry, 33 (5), pp. 1147-52 (1980)

Document 2: WO 95/03806 A1

Document 3: EP 459702 A1

Document 4: EP 515107 A1

Document 5: WO 98/42711 A1

Document 6: WO 00/17201 A1

Document 7: JP 2000-502723 A

Document 8: JP 2002-513382 A

(1) Document 1 discloses compounds which fall within the scope of the compounds claimed in claims 1 and 2 in the present application.

Therefore, the inventions set forth in claims 1 and 2 are not novel and do not involve an inventive step in the light of document 1.

(2) Document 2 discloses medicines which comprise a compound represented by a formula (I), for treating Parkinson's disease.

The inventions set forth in claims 1, 2, 22-26, 28, 29 and 31 in the present application differ from the

invention disclosed in document 2 in that the former relate to [1,2,4]-triazolo[1,5-c]pyrimidine derivatives whereas the latter relates to [1,2,4]-triazolo[1,5-a]-1,3,5-triazine derivatives. However, in producing pharmaceutical products, replacement of a nitrogen atom in a 1,3,5-triazine derivative with a carbon atom to give a pyrimidine derivative is a well known technique (if necessary see documents 7 and 8); therefore, a person skilled in the art could easily conceive of replacing a nitrogen atom in a 1,3,5-triazine derivative disclosed in document 2 to give a pyrimidine derivative.

Therefore, the inventions set forth in claims 1, 2, 22-26, 28, 29 and 31 in the present application do not involve an inventive step in the light of document 2.

3) Documents 3 and 4 disclose compounds represented by a formula (I) which act as angiotensin antagonists and are useful for treating ischaemic heart disease and cerebral disorders.

The inventions set forth in claims 1, 2 and 22-33 in the present application differ from the inventions disclosed in documents 3 and 4 in that the former relate to [1,2,4]-triazolo[1,5-c]pyrimidine derivatives whereas the latter relates to [1,2,4]-triazolo[1,5-a]-1,3,5-triazine derivatives. However, the argument in (2) above once again applies.

Therefore, the inventions set forth in claims 1, 2 and 22-33 in the present application do not involve an inventive step in the light of documents 3 and 4.

(4) Documents 5 and 6 disclose [1,2,4]-triazolo-[1,5-c]pyrimidine derivatives which have an adenosine A_{2A} receptor antagonist action and are useful in the treatment and prevention of disorders arising from stimulation of adenosine A_{2A} receptor function.

The inventions set forth in claims 1, 2 and 22-33 in the present application differ from the inventions disclosed in documents 5 and 6 in the feature of group R^3 in general formula (I). However, document 5 cites various substituent groups as the group equivalent to the aforementioned group R^3 , and this suggests that several substituent groups can be adopted as the group equivalent to the aforementioned group R^3 . Given this, a person skilled in the art could easily conceive of changing the group equivalent to the aforementioned group R^3 in the inventions disclosed in documents 5 and 6 to a known group mentioned in these claims of the present application.

Therefore, the inventions set forth in claims 1, 2 and 22-33 do not involve an inventive step in the light of documents 5 and 6.

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Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 03/68776 A1 [EX]	21 August 2003 (21.08.2003)	14 February 2003 (14.02.2003)	15 February 2002 (15.02.2002)

2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)